

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

IN RE APPLICATION

Box: AF

OF: VON DEYN ET AL.

GROUP ART UNIT: 1613

SERIAL NO. 09/091,300

EXAMINER:
ROBERT GERSTL

FILED: JUNE 16, 1998

FOR: 3-HETEROCYCLYL-SUBSTITUTED BENZOYL DERIVATIVES

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AMENDMENT UNDER 37 C.F.R. §1.116

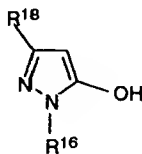
Sir:

In response to the Office Action of December 07, 1999, reconsideration of the Examiner's position is solicited in light of the following amendment and remarks:

IN THE CLAIMS:

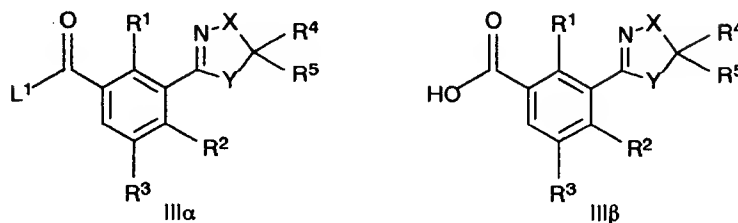
Cancel Claims 1 to 4, 7 to 13 and 16. Amend Claims 17 and 18 to read as follows:

17. (trice amended) A process for the preparation of the 3-heterocyclyl-substituted benzoyl compound of the formula I defined in claim [1] 28, which comprises acylating a pyrazole of the formula II



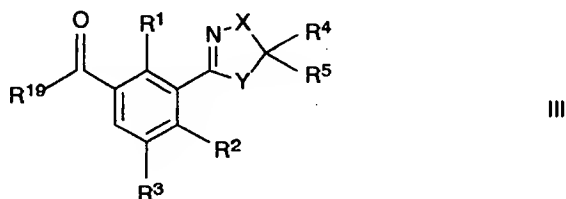
II

with an activated carboxylic acid III α or with a carboxylic acid III β



where L¹ is a nucleophilically displaceable leaving group and subjecting the acylation product to a rearrangement reaction to give the compound I.

18. (trice amended) A 3-heterocyclyl-substituted benzoic acid compound of the formula III,



where

R¹⁹ is hydroxyl or a radical which can be removed by hydrolysis,
 R¹[, R² are hydrogen,] is nitro, [halogen, cyano,] C₁-C₆-alkyl,
 C₁-C₆-haloalkyl, C₁-C₆-alkoxy, [C₁-C₆-haloalkoxy, C₁-C₆-alkylthio,
 C₁-C₆-haloalkylthio, C₁-C₆-alkylsulfinyl, C₁-C₆-haloalkylsulfinyl,]
 C₁-C₆-alkylsulfonyl or C₁-C₆-haloalkylsulfonyl;

R² is nitro, halogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkylthio, C₁-C₆-alkylsulfinyl, C₁-C₆-alkylsulfonyl or C₁-C₆-haloalkylsulfonyl;

R³ is hydrogen, halogen or C₁-C₆-alkyl;

R⁴, R⁵ are hydrogen[, halogen, cyano, nitro, C₁-C₄-alkyl, C₁-C₄-alkoxy-
 C₁-C₄-alkyl, di(C₁-C₄-alkoxy)-C₁-C₄-alkyl, di(C₁-C₄-alkyl)-ami-
 no-C₁-C₄-alkyl, [2,2-di(C₁-C₄-alkyl)-1-hydrazino]-C₁-C₄-alkyl,
 C₁-C₆-alkyliminoxy-C₁-C₄-alkyl, C₁-C₄-alkoxycarbonyl-C₁-C₄-alkyl,
 C₁-C₄-alkylthio-C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-cyanoalkyl,
 C₃-C₈-cycloalkyl, C₁-C₄-alkoxy, C₁-C₄-alkoxy-C₂-C₄-alkoxy, C₁-C₄-ha-
 loalkoxy, hydroxyl, C₁-C₄-alkylcarbonyloxy, C₁-C₄-alkylthio, C₁-C₄-ha-
 loalkylthio, di(C₁-C₄-alkyl)amino, COR⁶, phenyl or benzyl, it being
 possible for the two last-mentioned substituents to be fully or par-

tially halogenated and/or to have attached to them one to three of the following groups: nitro, cyano, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy or C₁-C₄-haloalkoxy]; [or]

[R⁴ and R⁵ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or which can be interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl; or]

[R⁴ and R⁵ together with the corresponding carbon form a carbonyl or thiocarbonyl group;]

[R⁶ is hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-alkoxy-C₂-C₄-alkoxy, C₁-C₄-haloalkoxy, C₃-C₆-alkenyloxy, C₃-C₆-alkynyloxy or NR⁷R⁸;]

[R⁷ is hydrogen or C₁-C₄-alkyl;]

[R⁸ is C₁-C₄-alkyl;]

X is O[, S, NR⁹, CO or CR¹⁰R¹¹];

Y is CR¹³R¹⁴;

[R⁹ is hydrogen or C₁-C₄-alkyl;]

[R¹⁰, R¹¹,] R¹³, R¹⁴ are hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxycarbonyl, C₁-C₄-haloalkoxycarbonyl or CONR⁷R⁸; [or]

R⁷ is hydrogen or C₁-C₄-alkyl;

R⁸ is C₁-C₄-alkyl.

[R⁴ and R⁹ or R⁴ and R¹⁰ or R⁵ and R¹³ together form a C₂-C₆-alkanediyl chain which can be mono- to tetrasubstituted by C₁-C₄-alkyl and/or interrupted by oxygen or by a nitrogen which is unsubstituted or substituted by C₁-C₄-alkyl,]

[with the exception of methyl 2-chloro-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoate, methyl 2-chloro-3-(4,5-dihydrooxazol-2-yl)-4-methylsulfonylbenzoate and methyl 2,4-dichloro-3-(5-methylcarbonyloxy-4,5-dihydroisoxazol-3-yl)benzoate.]

Cancel Claim 19. Amend Claim 21 to read as follows:

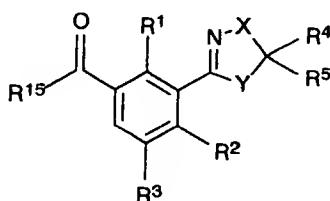
21. (trice amended) A composition comprising a herbicidally active amount of at least one 3-heterocycl-yl-substituted benzoyl compound of the formula I or of the agriculturally useful salt of I defined in claim [1] 28, and auxiliaries conventionally used for the formulation of crop protection products.

Amend Claim 23 to read as follows:

23. (trice amended) A method of controlling undesirable vegetation, which comprises allowing a herbicidally active amount of at least one 3-heterocyclyl-substituted benzoyl compound of the formula I or of the agriculturally useful salt of I defined in claim [1] 28 to act on plants, their environment and/or on seeds.

Cancel Claims 25 to 27. Amend Claims 28 and 29 to read as follows:

28. (amended) [The] A 3-heterocyclyl-substituted benzoyl compound of the formula I [defined in claim 1,]



wherein

X is O;

R¹ is nitro, C₁-C₆-alkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkyl, C₁-C₆-alkylsulfonyl or C₁-C₆-haloalkylsulfonyl;

R² is nitro, halogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkylthio, C₁-C₆-alkylsulfinyl, C₁-C₆-alkylsulfonyl or C₁-C₆-haloalkylsulfonyl;

R³ is hydrogen, halogen or C₁-C₆-alkyl;

R⁴, R⁵ are hydrogen;

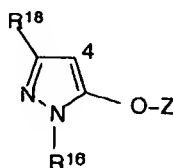
Y is CR¹³R¹⁴;

R¹³, R¹⁴ are hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy-carbonyl, C₁-C₄-haloalkoxycarbonyl or CONR⁷R⁸;

R⁷ is hydrogen or C₁-C₄-alkyl;

R⁸ is C₁-C₄-alkyl;

R¹⁵ is a pyrazole of the formula II which is linked in the 4-position



wherein

R¹⁶ is C₁-C₆-alkyl;

Z is H; and

R¹⁸ is hydrogen or methyl.

29. (amended) The 3-heterocyclyl-substituted benzoyl compound of the formula I defined in claim [1] 28, wherein R¹ is methyl, R² is methylsulfonyl, R³ is hydrogen, R¹⁶ is methyl and R¹⁸ is hydrogen.

Amend Claim 31 to read as follows:

31. (amended) The 3-heterocyclyl-substituted benzoyl compound of the formula I defined in claim [1] 28, wherein R¹ is methyl, R² is methylsulfonyl, R³ is hydrogen, R¹⁶ is ethyl and R¹⁸ is hydrogen.

Cancel Claims 32 and 33. Amend Claim 34 to read as follows:

34. (amended) The 3-heterocyclyl-substituted benzoyl compound of the formula I defined in claim [1] 28, wherein R¹ is methyl, R² is methylsulfonyl, R³ is hydrogen, R¹⁶ is methyl and R¹⁸ is methyl.

R E M A R K S

Claims 17, 18, 20 to 23, 28 to 31 and 34 are now pending in this case. Claims 1 to 4, 7 to 13, 16, 19, 25 to 27, 32 and 33 have been canceled.

Applicants have limited their claims to the benzoic acids defined in Claims 28 and 31, which are now defined in independent form in Claim 28. Accordingly, the dependency of Claims 17, 21, 23, 31 and 34 has been corrected, and the definition of the intermediate products defined in Claim 18 has been revised accordingly. No new matter has been added. In view of the foregoing, all of the claims should be in proper form. A clean and unmarked copy of the claims as herewith submitted is appended to this paper for the Examiner's convenience. Since the foregoing amendment merely cancels claims and otherwise does not present subject matter different from the subject matter previously presented, it is respectfully solicited that the amendment should be admissible under the provisions 37 C.F.R. §1.116.

The Examiner has maintained his rejection of applicants' claims as being unpatentable under 35 U.S.C. §103(a) in light of the disclosure of *von Deyn et al.* (WO-A 96/26,206; corresponds to US-A 5,846,907) finding that the data provided by applicants in Dr. Witschel's Declaration fail to compare the structurally closest compounds since, although the claims encompassed compounds wherein R¹ denoted halogen, except for the data compiled in Table 1 the comparative data presented related to the herbicidal effectivity of the chloro substituted compounds disclosed by *von Deyn et al.* with alkyl substituted analogues in accordance with applicants' invention. Accordingly, applicants' have canceled those claims wherein R¹ of applicants' formula I *inter alia* represented a halogen radical in favor of Claims 28 and 33 which do not allow for a halogen radical in the position of R¹. Therefore, Tables 2, 3 and 4 of Dr. Witschel's Declaration set forth the comparison of the compounds of applicants' claims that are structurally closest to the compounds disclosed by *von Deyn et al.* Favorable reconsideration of the Examiner's rejection under 35 U.S.C. §103(a) is, therefore, respectfully solicited.

The Examiner has also maintained his rejection of applicants' claims as being unpatentable under the judicially created doctrine of obviousness-type double patenting over claims 1 to 8 of *US-A 5,846,907*, finding that applicants failed to establish patentable distinctness of their invention. In light of the foregoing it is respectfully urged that the comparative showing in Dr. Witschel's Declaration clearly corroborates that the replacement of the chlorine radical of the compounds disclosed by *von Deyn et al.* provides for the compounds to be better tolerated by crop plants and, at the same time, to exhibit a higher herbicidal effect on the unwanted plants. Favorable reconsideration of the Examiner's position is respectfully solicited in light of those distinct and unexpected advantages which corroborate the patentable distinctness of applicants' compounds over the compounds of *von Deyn et al.*

REQUEST FOR EXTENSION OF TIME:

It is respectfully requested that a two month extension of time be granted in this case. A check for the \$380.00 fee is attached.

Serial No. 09/091,300

Von Deyn et al.

Oz 0050/47679/He

Respectfully submitted,
KEIL & WEINKAUF

A handwritten signature in cursive script, appearing to read "Herbert B. Keil".

Herbert B. Keil

HBK/BS/lc

R^4, R^5 are hydrogen;

X is O;

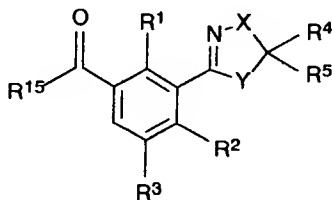
Y is $CR^{13}R^{14}$;

R^{13}, R^{14} are hydrogen, C_1 - C_4 -alkyl, C_1 - C_4 -haloalkyl, C_1 - C_4 -alkoxy-carbonyl, C_1 - C_4 -haloalkoxycarbonyl or $CONR^7R^8$;

R^7 is hydrogen or C_1 - C_4 -alkyl;

R^8 is C_1 - C_4 -alkyl.

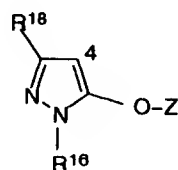
20. (trice amended) The 3-heterocyclyl-substituted benzoic acid compound of the formula III defined in claim 18, where R^{19} is halogen, hydroxyl or C_1 - C_6 -alkoxy.
21. (trice amended) A composition comprising a herbicidally active amount of at least one 3-heterocyclyl-substituted benzoyl compound of the formula I or of the agriculturally useful salt of I defined in claim 28, and auxiliaries conventionally used for the formulation of crop protection products.
22. (twice amended) A process for the preparation of the composition defined in claim 21, which comprises mixing a herbicidally active amount of at least one 3-heterocyclyl-substituted benzoyl compound of the formula I or of the agriculturally useful salt of I and auxiliaries conventionally used for the formulation of crop protection products.
23. (trice amended) A method of controlling undesirable vegetation, which comprises allowing a herbicidally active amount of at least one 3-heterocyclyl-substituted benzoyl compound of the formula I or of the agriculturally useful salt of I defined in claim 28 to act on plants, their environment and/or on seeds.
28. (amended) A 3-heterocyclyl-substituted benzoyl compound of the formula I



wherein

X is O;

- R¹ is nitro, C₁-C₆-alkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkyl, C₁-C₆-alkylsulfonyl or C₁-C₆-haloalkylsulfonyl;
- R² is nitro, halogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkylthio, C₁-C₆-alkylsulfinyl, C₁-C₆-alkylsulfonyl or C₁-C₆-haloalkylsulfonyl;
- R³ is hydrogen, halogen or C₁-C₆-alkyl;
- R⁴, R⁵ are hydrogen;
- Y is CR¹³R¹⁴;
- R¹³, R¹⁴ are hydrogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxycarbonyl, C₁-C₄-haloalkoxycarbonyl or CONR⁷R⁸;
- R⁷ is hydrogen or C₁-C₄-alkyl;
- R⁸ is C₁-C₄-alkyl;
- R¹⁵ is a pyrazole of the formula II which is linked in the 4-position



II

wherein

- R¹⁶ is C₁-C₆-alkyl;
- Z is H; and
- R¹⁸ is hydrogen or methyl.
29. (amended) The 3-heterocyclyl-substituted benzoyl compound of the formula I defined in claim 28, wherein R¹ is methyl, R² is methylsulfonyl, R³ is hydrogen, R¹⁶ is methyl and R¹⁸ is hydrogen.
30. 4-[2-Methyl-3-(4,5-dihydroisoxazol-3-yl)-4-methylsulfonylbenzoyl]-1-methyl-5-hydroxy-1H-pyrazole.
31. (amended) The 3-heterocyclyl-substituted benzoyl compound of the formula I defined in claim 28, wherein R¹ is methyl, R² is methylsulfonyl, R³ is hydrogen, R¹⁶ is ethyl and R¹⁸ is hydrogen.
34. (amended) The 3-heterocyclyl-substituted benzoyl compound of the formula I defined in claim 28, wherein R¹ is methyl, R² is methylsulfonyl, R³ is hydrogen, R¹⁶ is methyl and R¹⁸ is methyl.